

8/468145

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*           W E L C O M E   T O   T H E
*           U . S .   P A T E N T   T E X T   F I L E
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=> e engel, jurgen/in

E#	FILE	FREQUENCY	TERM
E1	USPAT	1	ENGEL, JOSEPH R/IN
E2	USPAT	1	ENGEL, JUERGEN/IN
E3	USPAT	62 -->	ENGEL, JURGEN/IN
E4	USPAT	2	ENGEL, KARL/IN
E5	USPAT	1	ENGEL, KARSTEN/IN
E6	USPAT	4	ENGEL, KLAUS/IN
E7	USPAT	1	ENGEL, KLAUS EBERHARD/IN
E8	USPAT	2	ENGEL, KLAUS G/IN
E9	USPAT	13	ENGEL, KURT/IN
E10	USPAT	2	ENGEL, L DAVID/IN
E11	USPAT	3	ENGEL, LARRY J/IN
E12	USPAT	1	ENGEL, LAURENCE G/IN

=> s e3

L1 62 "ENGEL, JURGEN"/IN

=> s l1 and lyophilisate

580 LYOPHILISATE  
L2 1 L1 AND LYOPHILISATE

=> d bib ab

US PAT NO: 5,663,145 [IMAGE AVAILABLE] L2: 1 of 1  
DATE ISSUED: Sep. 2, 1997  
TITLE: Products for administering an initial high dose of  
Cetrorelix and producing a combination package for use  
when treating diseases  
INVENTOR: **Jurgen Engel**, Alzenau, Federal Republic of Germany  
Peter Hilgard, Frankfurt, Federal Republic of Germany  
Thomas Reissmann, Frankfurt, Federal Republic of Germany  
ASSIGNEE: ASTA Medica Aktiengesellschaft, Dresden, Federal Republic  
of Germany (foreign corp.)  
APPL-NO: 08/354,838  
DATE FILED: Dec. 8, 1994  
ART-UNIT: 181  
PRIM-EXMR: Jeffrey E. Russel  
LEGAL-REP: Cushman Darby & Cushman IP Group of Pillsbury Madison &  
Sutro LLP

US PAT NO: 5,663,145 [IMAGE AVAILABLE] L2: 1 of 1

ABSTRACT:  
For application during the treatment of benign and malign tumour

diseases, the product according to the invention containing the initial dose of Cetrorelix acetate and one or more maintenance doses of Cetrorelix acetate, Cetrorelix embonate or a slow-release form of Cetrorelix, is used as a combination preparation for treatment to be administered at specific time intervals.

=> e wichert, burkhard/in

E#	FILE	FREQUENCY	TERM
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E1	USPAT	1	WICHERT, BERND/IN
E2	USPAT	1	WICHERT, BERNHARD/IN
E3	USPAT	2 -->	WICHERT, BURKHARD/IN
E4	USPAT	1	WICHERT, GERHARD/IN
E5	USPAT	2	WICHERT, HANS/IN
E6	USPAT	1	WICHERT, MANFRED/IN
E7	USPAT	2	WICHERT, VOLKER/IN
E8	USPAT	2	WICHERT, WOLFGANG/IN
E9	USPAT	1	WICHETA, WILLIAM E/IN
E10	USPAT	1	WICHINSKY, LOUIS/IN
E11	USPAT	8	WICHINSKY, MICHAEL/IN
E12	USPAT	2	WICHINSKY, MICHAEL A/IN

=> s e3

L3 2 "WICHERT, BURKHARD"/IN

=> d cit 1-

1. 5,750,131, May 12, 1998, Ifosfamide lyophilizate preparations;  
**Burkhard Wichert**, et al., 424/422, 423; 514/54, 57, 59, 60, 110  
[IMAGE AVAILABLE]

2. 5,446,033, Aug. 29, 1995, Stabilized hexadecylphosphocholine solutions in glycerol alkyl ethers; Jurgen Engel, et al., 514/77, 723, 769, 784 [IMAGE AVAILABLE]

=> d bib ab 1-

US PAT NO: 5,750,131 [IMAGE AVAILABLE] L3: 1 of 2  
DATE ISSUED: May 12, 1998  
TITLE: Ifosfamide lyophilizate preparations  
INVENTOR: **Burkhard Wichert**, Bielefeld, Federal Republic of Germany  
Dieter Sauerbier, Oerlinghausen, Federal Republic of Germany  
Jurgen Rawert, Werther, Federal Republic of Germany  
ASSIGNEE: Asta Medica Aktiengesellschaft, Dresden, Federal Republic of Germany (foreign corp.)  
APPL-NO: 08/752,069  
DATE FILED: Nov. 19, 1996  
ART-UNIT: 121  
PRIM-EXMR: Joseph McKane  
LEGAL-REP: Cushman Darby & Cushman IP Group Of Pillsbury Madison & Sutro, LLP

US PAT NO: 5,750,131 [IMAGE AVAILABLE] L3: 1 of 2

ABSTRACT:

The invention relates to improved ifosfamide preparations which are distinguished in that as primary auxiliary a polysaccharide, in general a glycan, preferably dextran, starches or cellulose, in particular dextrans

having an MW of 20,000 to 85,000, modified starches such as hydroxyethyl starch and chemically modified celluloses such as hydroxyethylcellulose and sodium carboxymethylcellulose, a glycol ether, preferably polyethylene glycol, in particular polyethylene glycols having a molecular weight of 600 to 6000 or an amino acid, preferably alanine, leucine or glutamic acid, is added to them.

The improved ifosfamide preparation can also contain as an auxiliary a pharmaceutically customary buffer, for example acetate, citrate or tris buffer, preferably phosphate buffer.

In addition, improved ifosfamide preparations are obtained by addition of NaHCO<sub>3</sub>.

The ifosfamide preparations according to the invention can comprise one or a combination of several auxiliaries. Mesna can be added to the formulation as a uroprotector.

US PAT NO: 5,446,033 [IMAGE AVAILABLE] L3: 2 of 2  
 DATE ISSUED: Aug. 29, 1995  
 TITLE: Stabilized hexadecylphosphocholine solutions in glycerol alkyl ethers  
 INVENTOR: Jurgen Engel, Alzenau, Federal Republic of Germany  
 Elisabeth Wolf-Heuss, Mosbach, Federal Republic of Germany  
 Helmut Orth, Hanau, Federal Republic of Germany  
**Burkhard Wichert**, Bielefeld, Federal Republic of Germany  
 Dieter Sauerbier, Werther, Federal Republic of Germany  
 ASSIGNEE: Asta Medica AG, Federal Republic of Germany (foreign corp.)  
 APPL-NO: 08/137,964  
 DATE FILED: Oct. 19, 1993  
 ART-UNIT: 123  
 PRIM-EXMR: C. Warren Ivy  
 ASST-EXMR: Evelyn Huang  
 LEGAL-REP: Cushman Darby & Cushman

US PAT NO: 5,446,033 [IMAGE AVAILABLE] L3: 2 of 2

ABSTRACT:  
 Solutions of alkylphosphocholines in glycerol alkyl ethers having enhanced storage stability containing a buffer which maintains the pH value to a range between 4 and 6.

=> e sauerbier, deiter/in

E#	FILE	FREQUENCY	TERM
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E1	USPAT	36	SAUERBERG, PER/IN
E2	USPAT	5	SAUERBIER, CHARLES E/IN
E3	USPAT	0 -->	SAUERBIER, DEITER/IN
E4	USPAT	13	SAUERBIER, DIETER/IN
E5	USPAT	2	SAUERBIER, HEINZ/IN
E6	USPAT	3	SAUERBIER, MICHAEL/IN
E7	USPAT	2	SAUERBIER, REINER/IN
E8	USPAT	1	SAUERBREI, DARYL J/IN
E9	USPAT	1	SAUERBREY, ARNIM/IN
E10	USPAT	1	SAUERBREY, BIRGIT/IN
E11	USPAT	1	SAUERBREY, CHARLES A/IN
E12	USPAT	2	SAUERBREY, DAVID W/IN

=> s e4

L4 13 "SAUERBIER, DIETER"/IN

=> d cit 1-

1. 5,834,520, Nov. 10, 1998, Container for injectable mesna solutions; Jurgen Engel, et al., 514/706 [IMAGE AVAILABLE]
2. 5,750,131, May 12, 1998, Ifosfamide lyophilizate preparations; Burkhard Wichert, et al., 424/422, 423; 514/54, 57, 59, 60, 110 [IMAGE AVAILABLE]
3. 5,728,738, Mar. 17, 1998, Injectable mesna solutions; Jurgen Engel, et al., 514/706, 709 [IMAGE AVAILABLE]
4. 5,696,172, Dec. 9, 1997, Injectable mesna solutions; Jurgen Engel, et al., 514/706 [IMAGE AVAILABLE]
5. 5,446,033, Aug. 29, 1995, Stabilized hexadecylphosphocholine solutions in glycerol alkyl ethers; Jurgen Engel, et al., 514/77, 723, 769, 784 [IMAGE AVAILABLE]
6. 5,358,718, Oct. 25, 1994, Tablet containing mesna as active substance and method of making same; **Dieter Sauerbier**, et al., 424/466, 464, 465, 474, 489; 514/772.3, 774, 777, 778, 781 [IMAGE AVAILABLE]
7. 5,262,169, Nov. 16, 1993, Tablets and granulates containing mesna as active substance; **Dieter Sauerbier**, et al., 424/465, 464, 469, 470, 474, 475, 489; 514/578, 770, 772.3, 774, 777, 778, 781, 784 [IMAGE AVAILABLE]
8. 5,252,341, Oct. 12, 1993, Tablets and granulates containing mesna as active substance; **Dieter Sauerbier**, et al., 424/489, 458, 464, 465, 470, 490 [IMAGE AVAILABLE]
9. 5,232,919, Aug. 3, 1993, Azelastine embonate and compositions which contain it; Gerhard Scheffler, et al., 514/212, 826; 540/599 [IMAGE AVAILABLE]
10. 5,204,335, Apr. 20, 1993, Ifosfamide lyophilisate and process for its preparation; **Dieter Sauerbier**, et al., 514/105, 79; 544/1; 558/81 [IMAGE AVAILABLE]
11. 5,158,776, Oct. 27, 1992, Solid oral dosage forms of ifosfamide; **Dieter Sauerbier**, et al., 424/451, 458, 463, 474, 482 [IMAGE AVAILABLE]
12. 4,959,215, Sep. 25, 1990, Ifosfamide-mesna lyophilizate and process for its preparation; **Dieter Sauerbier**, et al., 424/422, 423 [IMAGE AVAILABLE]
13. 4,952,575, Aug. 28, 1990, Solutions of oxaphosphorins having improved stability and process for the preparation thereof; **Dieter Sauerbier**, et al., 514/110 [IMAGE AVAILABLE]

=> d ab 2 13

US PAT NO: 5,750,131 [IMAGE AVAILABLE]

L4: 2 of 13

ABSTRACT:

The invention relates to improved ifosfamide preparations which are distinguished in that as primary auxiliary a polysaccharide, in general a glycan, preferably dextran, starches or cellulose, in particular dextrans having an MW of 20,000 to 85,000, modified starches such as hydroxyethyl starch and chemically modified celluloses such as hydroxyethylcellulose and sodium carboxymethylcellulose, a glycol ether, preferably polyethylene glycol, in particular polyethylene glycols having a molecular weight of 600 to 6000 or an amino acid, preferably alanine,

leucine or glutamic acid, is added to them.

The improved ifosfamide preparation can also contain as an auxiliary a pharmaceutically customary buffer, for example acetate, citrate or tris buffer, preferably phosphate buffer.

In addition, improved ifosfamide preparations are obtained by addition of NaHCO.sub.3.

The ifosfamide preparations according to the invention can comprise one or a combination of several auxiliaries. Mesna can be added to the formulation as a uroprotector.

US PAT NO: 4,952,575 [IMAGE AVAILABLE]

L4: 13 of 13

ABSTRACT:

Solutions comprising oxazaphosphorins having the general formula ##STR1## wherein R.sub.1, R.sub.2 and R.sub.3 are radicals and at least two of said radicals are 2-chloroethyl and/or 2-mathanesulfonyloxyethyl and the remaining radical is selected from hydrogen, methyl and ethyl; and about 80% to about 100% (v/v) ethanol; wherein the oxazaphosphorin concentration is about 10% to about 70% (w/v); and a process for the preparation thereof.

=> e reissmann, thomas/in

E#	FILE	FREQUENCY	TERM
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E1	USPAT	1	REISSMANN, JURGEN/IN
E2	USPAT	4	REISSMANN, KLAUS/IN
E3	USPAT	1 -->	REISSMANN, THOMAS/IN
E4	USPAT	1	REISSMANN, ULRICH/IN
E5	USPAT	1	REISSMANN, ULRIKE/IN
E6	USPAT	1	REISSMANN, WALTER/IN
E7	USPAT	1	REISSMUELLER, KARL H/IN
E8	USPAT	1	REISSMUELLER, KLAUS/IN
E9	USPAT	2	REISSMUELLER, MANFRED W/IN
E10	USPAT	2	REISSMULLER, ANTON/IN
E11	USPAT	1	REISSNER, FRANK/IN
E12	USPAT	1	REISSNER, HERBERT KURT/IN

=> s e3

L5 1 "REISSMANN, THOMAS"/IN

=> d cit 'ab

1. 5,663,145, Sep. 2, 1997, Products for administering an initial high dose of Cetrorelix and producing a combination package for use when treating diseases; Jurgen Engel, et al., 514/15, 800 [IMAGE AVAILABLE]

US PAT NO: 5,663,145 [IMAGE AVAILABLE]

L5: 1 of 1

ABSTRACT:

For application during the treatment of benign and malign tumour diseases, the product according to the invention containing the initial dose of Cetrorelix acetate and one or more maintenance doses of Cetrorelix acetate, Cetrorelix embonate or a slow-release form of Cetrorelix, is used as a combination preparation for treatment to be administered at specific time intervals.

=> d clms

US PAT NO: 5,663,145 [IMAGE AVAILABLE]

L5: 1 of 1

CLAIMS:

CLMS(1)

We claim:

1. A kit comprising
  - (a) an initial dose of an LHRH antagonist suitable for treatment of hormone-dependent conditions, and
  - (b) at least one maintenance dose of the LHRH antagonist, in an amount which is insufficient for treating the hormone-dependent conditions when administered alone.

CLMS(2)

2. The kit of claim 1, wherein the LHRH antagonist of (b) is in a slow-releasing formulation.

CLMS(3)

3. The kit of claim 1, wherein the LHRH antagonist is Cetrorelix.

CLMS(4)

4. The kit of claim 3, wherein the initial dose of Cetrorelix is between about 1 and about 60 mg.

CLMS(5)

5. The kit of claim 3, wherein the maintenance dose of Cetrorelix is between about 0.1 and about 60 mg.

CLMS(6)

6. The kit of claim 3, wherein the maintenance dose of Cetrorelix consists of a slow-releasing formulation.

CLMS(7)

7. A method of treating a hormone-dependent condition which comprises the steps of
  - (a) administering an initial dose of an LHRH antagonist to a person having a hormone-dependent condition, and
  - (b) then administering to that person a maintenance dose of an LHRH antagonist in an amount which is insufficient for treating the hormone-dependent conditions when administered alone.

CLMS(8)

8. The method of claim 7, wherein the maintenance dose of the LHRH antagonist is a slow-releasing formulation.

CLMS(9)

9. The method of claim 7, wherein the LHRH antagonist is Cetrorelix.

CLMS(10)

10. The method of claim 7, wherein Cetrorelix of the maintenance dose consists of a slow-releasing formulation.

CLMS(11)

11. The method of claim 9, wherein the initial dose of Cetrorelix is between about 1 and about 60 mg, and the maintenance dose of Cetrorelix is between about 0.1 and about 30 mg.

CLMS(12)

12. The method of claim 11, wherein the Cetrorelix of the maintenance dose consists of a slow-releasing formulation.

CLMS(13)

13. The method of claim 7, wherein the hormone-dependent condition is prostate cancer.

CLMS(14)

14. The method of claim 7, wherein the hormone-dependent condition is endometrial hyperplasia.

CLMS(15)

15. The method of claim 7, wherein the hormone-dependent condition is benign prostate hypertrophy.

CLMS(16)

16. The method of claim 7, wherein the hormone-dependent condition is mammary carcinoma.

CLMS(17)

17. The method of claim 7, wherein the hormone-dependent condition is ovarian carcinoma.

CLMS(18)

18. The method of claim 7, wherein the hormone-dependent condition is uterine fibroma.

CLMS(19)

19. The method of claim 7, wherein the hormone-dependent condition is pubertas praecox.

CLMS(20)

20. The method of claim 7, wherein the hormone-dependent condition is pituitary adenomas.

CLMS(21)

21. A method for decreasing male fertility comprising the steps of  
(a) administering to a male an initial dose of an LHRH antagonist, and  
(b) then administering to that male a maintenance dose of an LHRH antagonist in an amount which is insufficient for decreasing male fertility when administered alone.

CLMS(22)

22. The method of claim 21, wherein the LHRH antagonist is Cetrorelix.

CLMS(23)

23. The method of claim 21, wherein the Cetrorelix of the maintenance dose consists of a slow-releasing formulation.

CLMS(24)

24. The method of claim 22, wherein the initial dose of Cetrorelix is between about 1 and 60 mg, and the maintenance dose of Cetrorelix is between about 0.1 and 30 mg.

CLMS(25)

25. The method of claim 24, wherein the Cetrorelix of the maintenance dose comprises Cetrorelix pamoate or Cetrorelix acetate in a slow-releasing form.

=> s lyophilisat? and cetrorelix

1377 LYOPHILISAT?

9 CETRORELIX

L6 1 LYOPHILISAT? AND CETRORELIX

=> d cit ab

1. 5,663,145, Sep. 2, 1997, Products for administering an initial high dose of **Cetrorelix** and producing a combination package for use when treating diseases; Jurgen Engel, et al., 514/15, 800 [IMAGE AVAILABLE]

US PAT NO: 5,663,145 [IMAGE AVAILABLE]

L6: 1 of 1

ABSTRACT:

For application during the treatment of benign and malign tumour diseases, the product according to the invention containing the initial dose of **Cetrorelix** acetate and one or more maintenance doses of **Cetrorelix** acetate, **Cetrorelix** embonate or a slow-release form of **Cetrorelix**, is used as a combination preparation for treatment to be administered at specific time intervals.